

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
21 July 2005 (21.07.2005)

PCT

(10) International Publication Number
WO 2005/066159 A1

- (51) International Patent Classification⁷: **C07D 403/12**, 405/12, 309/30, 211/74, 207/24, 213/65, 401/12, A61K 31/341, 31/445, 31/44, 31/40, 31/55, 31/4433, 31/506, A61P 19/08
- (21) International Application Number: PCT/CA2005/000007
- (22) International Filing Date: 6 January 2005 (06.01.2005)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data: 60/534,920 8 January 2004 (08.01.2004) US
- (71) Applicant (for all designated States except US): **MERCK FROSST CANADA & CO.** [CA/CA]; 16711 Trans-Canada Highway, Kirkland, Québec H9H 3L1 (CA).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **BAYLY, Christopher** [CA/CA]; 16711 Trans-Canada Highway, Kirkland, Québec H9H 3L1 (CA). **BLACK, Cameron** [CA/CA]; 16711 Trans-Canada Highway, Kirkland, Québec H9H 3L1 (CA). **THERIEN, Michel** [CA/CA]; 16711 Trans-Canada Highway, Kirkland, Québec H9H 3L1 (CA).
- (74) Agent: **OGILVY RENAULT**; Suite 1600, 1981 McGill College Avenue, Montréal, Québec H3A 2Y3 (CA).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:**
- with international search report
 - before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: CATHEPSIN CYSTEINE PROTEASE INHIBITORS

(57) Abstract: The present invention relates to a novel class of compounds mainly, leucinamide-amino-carboxylate derivatives of formula (I) wherein Y is CR¹R², O, S, -SO₂, C=O or NR⁹; Z is CR¹R², O, S, -SO₂, C=O or NR⁹ and each G is independently a CR¹CR². Said compounds are cathepsin cysteine protease inhibitors, including but not limited to, inhibitors of cathepsin K, L, S and B and to pharmaceutical compositions thereof. These compounds are useful for treating and preventing cathepsin dependent conditions in which inhibition of bone resorption is indicated, such as osteoporosis.